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(54) Title: INSECT CONTROL USING ATTRACTANTS AND INSECTICIDES STABILISED IN CASTOR OIL

(57) Abstract: An insecticidal composition for controlling harmful insects and representatives of the order Acarina comprising (a) a contact insecticide compound, preferably Lambda-Cyhalothrin or Deltamethrin, (b) a systemic insecticide compound, preferably imidacoprid, (c) a target insecticide pheromone or kairomone, (d) an UV absorber selected from the hydroxylphenyl benzotriazole group, preferably Tinuvin 17, (e) castor oil, (f) a viscosity regulator, preferably polyisobutylene such as Glissopal 1000, and (g) an organic solvent; the composition remains viscous and sticky after application and effective for a period of 12 weeks.





### INSECT CONTROL USING ATTRACTANTS AND INSECTICIDES STABILISED IN CASTOR OIL

#### **DESCRIPTION**

- The current methods of applying pesticides, notably insecticides in horticulture, post-harvest storage, animal health and public health have several disadvantages.
- 2. Insecticides that are sprayed are limited by wind conditions to prevent spray drift on to neighbouring properties. Insecticide residues remain on the fruit in contravention of both legal requirements and trends toward pesticide free produce. Spraying is inefficient in that only a very small proportion of the insecticide active is actually used to control insects; the remainder ultimately penetrates the soil where it is free to enter water courses and cause serious environmental damage. Spraying also destroys beneficial insects, some of which have been specifically introduced to control insect pests. Because spraying saturates orchards with insecticide, the health of operators is placed at risk, especially in developing countries where protective clothing may not be available. Workers are not permitted re-entry to orchards, nor can harvesting occur for a prescribed number of days following spraying. Large volumes of the one insecticide over time invariably create species resistance to the insecticide, thereby necessitating development of new insecticide molecules.
- The practice of pouring insecticides on the back of livestock (known as "pourons") and accompanied animals, while a significantly safer practice compared
  with spraying, results in pesticide residues entering the soil and subsequently
  water courses.
- 4. The current typical methods of controlling ticks (hereinafter used to describe undesirable members of Order Acarina and family Ixodidae) on livestock as well as other Ecto- and Endo-Parasites are as follows.
- 5. Intensive Dipping. The treated animal is momentarily submersed in a solution of insecticide and water at regular intervals, usually every 4-6 weeks. There are various mechanisms to facilitate dipping, including trenches and portable equipment on tractor-trailers. Dipping is not only labour intensive, but there is evidence to suggest that the early entrants to the dip extract all of the

insecticide from the solution which means that later entrants are dipped in nothing more than water and therefore effectively remain untreated. The high levels of insecticide extracted by early entrants may cause the accumulation of pesticide residues in the animal.

- Spraying. Spraying may be either intensive or directed towards infected areas. The animal is corralled at regular intervals (every 4-6 weeks) and sprayed with an emulsified insecticide mixed with water. This process is highly labour intensive and the spray does not penetrate to the same extent as dipping. Moreover, the residual insecticide may penetrate the soil and watercourses, destroy beneficial organisms or drift away from the spray site on to neighbouring properties.
- 7. Pour-On. A Pour-On, often a synthetic pyrethroid, is applied topically along the midline of the back of the animal in a narrow strip between the shoulders and the tail head. Pour-On treatment is more effective than spraying. This process is labour intensive, unsafe for workers and results in pesticide residues penetrating the soil and watercourses. Restrictions apply to dairy cattle because the treatment generally penetrates the skin of the animal. Moreover, because the Pour-On treatment generally offers long residual activity, there is a relatively long interval between treatment and slaughter in the case of animals raised for meat.
- 8. Injectables. Several insecticides such as Ivermectin may be injected into the animal to control ticks. While the process of injecting an insecticide is labour intensive, the treatment also controls internal parasites in the animal. An internal treatment, such as an injectable, is based on the feeding behaviour of the tick. Ticks typically attach themselves to the bloodstream of the animal for up to four days to gorge on blood. Ivermectin is excreted by the animal (whether injected or administered orally) and is believed to be the reason for the decline in numbers of the beneficial dung beetle.
- 9. Vaccines. An anti-tick vaccine was recently commercially available, but removed from the market because of safety concerns. The vaccine antigen, based on a tick gut protein and produced by recombinant technology, stimulates production of specific antibodies in cattle which damage the gut of engorging ticks, resulting in a fertility reduction of up to 70% in adult ticks and reducing tick population growth. This vaccine is of limited use, but may be

used as part of an integrated program for the control of ticks. Vaccination is a labour intensive process. Because immunity is slow to develop, vaccination represents a long-term strategy and therefore is not suitable for urgent situations.

- 10. Controlled-Release Capsules. A capsule containing an appropriate anthelmintic may be fired orally into the first stomach of the animal where it remains fixed permanently, but releases an anthelmintic over a proscribed period (usually three months) that controls ticks as well as internal parasites. Capsules are also referred to as intraruminal slow-release devices. The administration of capsules is a labour intensive process. The principle purpose of this treatment is to control internal parasites rather than ecto-parasites.
- 11. Orally Administered Anihelmintics. Generally applied by drench, orally administered anthelmintics are effective only for a short period before excretion. Drenching is also a labour intensive process.
- 12. Ear-Tags, Neck-Bands and Tail-Bands. Ear-tags, neck-bands and tail-bands containing synthetic pyrethroids are frequently used on cattle to control ticks, but are limited to the part of the animal where the device is located and where the device may reach if, for example, the animal uses its ear or tail to disturb a tick. The application of these devices is also labour intensive. While there is no residual pesticide activity during fastening of the tags and bands to the animal, the disposal of the same once they are no longer effective is of concern.
- 13. Tick Repellents. Certain grasses such as Melinis minutiflora and Cassia absus are known to repel ticks and efforts have been made to use extracts of the same or to blend these grasses with existing pastures. To date the results have not been promising.
- 14. Tick Resistant Cattle. An African bovine species known as *Bos taurus* is highly resistant to tick infection when cross-bred with other species of cattle. To date results have been promising, but this method of control will be restricted to those countries and hers where cross-breeding is both feasible and appropriate.
- 15. When controlling ticks, the above-mentioned results can be met by combining insecticide active compounds with pheromones and other attractants that

attract the male of the species to the composition. Under these circumstances, the composition may be applied selectively in very small doses and not over the entire animal. The composition may also be applied to eartags, neck-collars and tail-collars. Since the pheromones released at the treated sites are effective at some distance, the male species migrate to these sites, become contaminated with the insecticide active compound and are destroyed. Females of species are unaffected by the composition, but are unable to reproduce in sufficient numbers to cause significant harm to the animal and therefore economic damage to the livestock industry. In the case of deer, the vectors for carrying tick-borne disease are significantly reduced.

- 16. The male tick is not distracted by competing females because the level of the pheromone in the composition is approximately equal. However, some coincidental mating will inevitably occur, but will be infrequent enough not to cause economic damage or a significant incidence of tick-borne disease. In time, when kairomones (non-sexual fragrances) and suitable attractants are available, the composition will be used to destroy both male and female members of the target insect species.
- 17. The current methods for controlling blowflies (for example, Lucia cuprina the South African blowfly which is member of Order Diptera also found in Australia and New Zealand) are as follows. Two or more of these methods are frequently used in parallel
- 18. Intensive Dipping. The treated animal is momentarily submersed in a solution of insecticide and water at regular intervals, usually every 4-6 weeks. There are various mechanisms to facilitate dipping and these were described above in paragraph 5. Dipping is not only labour intensive, but there is evidence to suggest that the early entrants to the dip extract all of the insecticide from the solution which means that later entrants are dipped in nothing more than water and therefore effectively remain untreated. The high levels of insecticide extracted by early entrants may cause the accumulation of pesticide residues in the animal.
- 19. Spraying. Spraying may be either intensive or directed towards infected areas. The animal is corralled at regular intervals (every 4-6 weeks) and sprayed with insecticide mixed with water. This process is highly labour intensive and the spray does not penetrate to the same extent as dipping. Moreover, the

residual insecticide may penetrate the soil and watercourses, destroy beneficial organisms or drift away from the spray site on to neighbouring properties. Areas where the sheep frequently congregate or areas conducive to blowfly habitation are also frequently sprayed. Spraying of these areas generally does not provide any residual protection, but the recent development of microencapsulated insecticides now offers up to three months protection. However, micro-encapsulation has brought its own set of disadvantages including the fact that the insecticide is unsightly for the period of residual protection once it is applied. Moreover, insecticide is gradually released from the micro-capsules whether it is sprayed or not, a factor that limits the shelf-life of microencapsulated insecticides. Micro-encapsulation is also an expensive process compared with standard insecticide formulations.

- 20. Crotching. Removing the soiled wool from around the groin area of the sheep to reduce the appeal of the sheep to blowfly infection. Crotching is highly labour intensive process that is also very unpleasant to the operator.
- 21. Trapping. Blowflies may be trapped in a special device known as the LuciTrap™ which uses a mixture of pheromones and kairomones to attract blowflies of both sexes to an enclosure where they ultimately die of dehydration. The disadvantage of the LuciTrap™ is that the traps not always in close proximity to the sheep and the fact that they require servicing at regular intervals.
- 22. Vaccines. Work is progressing on a vaccine that will prevent the growth of the blowfly larvae or even destroy the larvae once the fly has attacked the sheep. However, early trials suggest that the vaccine is only moderately successful and considerable work remains to increase the level of antigens in the vaccine. However, the vaccine will not prevent the Strike, but merely reduce the adverse effects of the strike. The vaccination of animals used in the production of meat is problematical. Nonetheless, a vaccine against Strike is compatible with the technology covered in this application which seeks to eliminate the blowfly before it attacks the sheep but, as with all other treatment, will not be 100% successful.
- 23. When controlling blowfly, the above-mentioned results can be met by combining insecticide active compounds with pheromones and kairomones that attract both the male and female of the species to the composition.

Under these circumstances, the composition may be applied selectively in very small doses and not over the entire animal. The composition may also be applied to ear-tags. Since the pheromones released at the treated sites are effective at some distance, the male species migrate to these sites, become contaminated with the contact insecticide active compound and are destroyed. Kairomones released at the treated sites are also effective at some distance and attract both males and females to these sites where they become affected by the composition and are destroyed.

- 24. When controlling blowfly that may be resistant to the contact insecticide, the male of the species will remove minute doses of the systemic insecticide from the composition and subsequently, when copulating with the female, he will sterilise her eggs.
- 25. The control of members of Orders Acarina, Diptera, Lepidoptera and Coleoptera in horticulture is generally accomplished by spraying insecticides, the disadvantages of which were described in paragraph 2. However, other methods of control are used and, as with spraying regime, they offer both benefits and disadvantages. One such method is to use biological control, for example, parasitic wasps (Trichogramma carverae) in orchards to control Codling Moth (Cydia pomonella). The female wasp lays her eggs in the eggs of the Codling Moth to enhance her species own breeding cycle at the expense of the latter. However, it is extremely difficult to achieve a balance between predators and their prey. Too few Codling Moths could result in the decline of Parasitic Wasp populations and too many Codling Moths could reduce significantly the efficacy of the process. Furthermore, if spraying is required then the Trichogramma carverae population will either be significantly reduced or completely destroyed, thereby necessitating reintroduction.
- 26. Another method of control is to use sexual pheromones to attract the male of the species out of the breeding cycle to a trap where it subsequently dies. The pheromones of several hundred important insect species have been identified and synthesised from aromatic molecules. This information is generally in the public domain. This process is known as "Mass Trapping" and is generally considered to be inefficient because of relatively low numbers caught compared with numbers destroyed by spraying. One reason for the inefficiency is that the pheromone is contained inside the trap which limits the release rate over a large area. The process is also highly labour intensive. A

large number of traps are generally required and these require continual inspection and frequent cleaning. However, trapping using sexual pheromones on a smaller scale is desirable to monitor the presence and numbers of target insect species in the orchard as a precursor to spraying. However, other insect species, including beneficial insects, are not attracted to the trap.

- 27. A second method of using sexual pheromones is known as "Mating Disruption" or "Confusion". Excessive levels of pheromone are used to confuse the male of the target species so that it loses its sense of direction and flies around aimlessly seeking a female with which to mate. Therefore, many male insects may pass through the adult stage of their life cycle without breeding, thereby reducing the population of subsequent generations of the target insect species. However, some males may seek refuge in neighbouring properties where Mating Disruption may not be used and therefore they continue to breed. Pheromones are dispensed from small tubes which are tied to branches of trees, usually at a rate of 2,000 to 2,500 stations per hectare. This is highly labour intensive process. Moreover, pheromones are expensive to produce and when used in excessive concentrations for confusion purposes, the cost of the product is very high compared with spraying. Pheromones have a very limited life when exposed to UV radiation; therefore, because of the large number of stations, release has to be gradual and over a long period of time for Mating Disruption to be cost effective.
- 28. For compositions to be active over a sufficiently long period the active components in the subject formulations must, on the one hand, be protected against environmental factors (such as UV radiation) but, on the other hand, they must also be released in a controlled manner. Pheromones, in particular, are polymers and therefore highly vulnerable to UV radiation that rapidly degrades the bonding in their long molecular chain structures.
- 29. Most pheromones are rendered ineffective after around 48 hours of exposure to UV radiation. It is noted that it is theoretically impossible to degrade pure polymers with UV radiation and that the degradation process commences because of impurities in the polymer. However, pheromones used in the composition are generally 99% pure and therefore vulnerable to UV radiation. The composition must also be designed so that the insect take up sufficient active insecticide compound to destroy them after coming into contact with the composition.

30. A significant number of preparations based on the use of pheromones and other attractants for controlling members of Orders Acarina, Diptera, Lepidoptera, Coleoptera and Dictyoptera have already been disclosed.

- 31. One such preparation involves a solution of the pheromone and insecticide in a solvent with a low vapour pressure or, alternatively as an adsorbate on an inert solid with anti-oxidants and UV stabilisers. However, the disadvantage of these preparations is that their resistance to climatic factors is relatively low and that the active compounds are broken down or leached out after a relatively short time. When a composition is adsorbed it forms a thin film on the surface of an inert solid. However, it is well known that UV stabilisation is a function of both the concentration of the stabiliser and the thickness of the film to be protected (Beer Lambert Law).
- 32. A second type of preparation involves combining attractants and insecticides in microencapsulated form or binding the same in water-soluble polymers. However, the action of such formulations is not always sufficiently high since the amount of active compound released is frequently inadequate to destroy the target pest.
- 33. A third type of preparation involves a mixture of the pheromone, UV stabiliser, insecticide and other additives that are fixed to a combination of absorbing and adsorbing solids. In this case, while the active, absorbed components remain stable over a sufficiently long period of time, the amount of active compound that diffuses out from the absorbed compounds does not always guarantee a sufficiently high degree of action.
- 34. A fourth type of preparation is similar to the invention in that it uses UV absorbers to protect the attractant from degradation and results in a sticky droplet once applied. However, this preparation remains effective for a period of only six weeks following application. The preparation has no controlled release properties and relies upon stirring for up to 12 hours to homogenise the insecticides and attractants in the composition. The preparation contains only a contact insecticide that cannot be used to control resistant members of the species. The preparation, once applied, does not form a skin and therefore is more vulnerable to the weather. This preparation is not suitable for use in

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animal health applications because of the high level of Hydroxyphenyl Benzotriazole used in the formulation.

- 35. A fifth type of preparation similar to the fourth preparation uses a polymer to form a hard, impermeable skin around the droplet. While the skin protects orchard workers against accidental contact with the droplet, the rate of release of both the insecticide and attractant is too low to be effective. This preparation has the same shortcomings as the fourth preparation in that it is effective for only six weeks after application and does not contain a systemic insecticide to control resistant species. This preparation is not suitable for use in animal health applications because of the high level of Hydroxyphenyl Benzotriazole used in the formulation.
- 36. The above-mentioned shortcomings are overcome by the composition of the invention which uses a natural oil to protect the attractants and insecticides against UV radiation and to control the release of the same over a 12-week period. The composition also contains both a contact and systemic insecticide to control both non-resistant and resistant species of the Orders. The invention is a flowable composition comprising the following components that may control members of Orders Acarina, Diptera, Lepidoptera, Coleoptera and Dictyoptera in Horticulture, Livestock, Stored Cereals and Public Health and members of the Order Artiodactyle (deer).

0.1% to 5% by weight of a contact insecticide compound with a very high LD<sub>50</sub>, but with low mammalian toxicity (for example, *Lambda*-Cyhalothrin or Deltamethrin),

0.1% to 5% by weight of a systemic insecticide compound (for example, Imidacloprid)

0.01% to 1.0% by weight of the target insect pheromone (or other signal substances including kairomones and naturally occurring attractants) at a level equal to that emitted by competing females,

10% to 30% by weight of an UV Radiation Absorber selected from the Hydroxyphenyl Benzotriazole group (for example Tinuvin™ 171) which can absorb UV radiation in the range 270 to 400 nM and stabilise the composition for period of six weeks,

10% to 70% by weight of Castor Oil with 0.5% Castor Oil Ethoxylate, a controlled-release medium for both the pheromone and insecticide that also provides protection against UV radiation,

0.1% to 20% by weight of a viscosity-regulator to establish a formulation with a viscosity of 25,000 cP. The preferred viscosity-regulator is a Polyisobutylene with a molecular weight of 1,000 (for example, Glissopal<sup>TM</sup> 1000) supplemented by an Aluminalsilic aerosil, for example COK 84<sup>TM</sup>.

0.1% to 3.0% by weight of an aromatic solvent in which all of the above are soluble, for example, hexane.

- 37. The components are combined in the following sequence. The UV absorber is added to a reactor or a kettle. If necessary, the insecticide active is liquefied in drums in the hot-water bath and then added to reactor. Castor oil and Castor Oil Ethoxylate are then added to the mixture. The solution is then cooled and maintained in liquid form at a temperature below 30°C. The pheromone, and any other attractant compound, is added to the reactor and the mixture is stirred for a total of four hours ensure complete dispersion of the insecticide and pheromone. The viscosity regulators are then added to the mixture to achieve a viscosity of 25,000 cP. Solvent may be added if required to decrease viscosity if a correction is required. The mixture must then be stirred for a further two hours to ensure complete blending of the viscosity regulators.
- 38. The composition is packaged in a specialised container, 150 to 200-gram by weight and calibrated to manually dispense doses in the range 0.05 to 0.1 gram.
- 39. Once applied, the droplet will remain effective for up to 12 weeks because of the controlled release properties of the Castor Oil. The droplet will form a thin skin because of the presence of Castor Oil. The skin is permeable to insecticide and attractant molecules, and may be readily broken by an insect attracted to the composition. The skin will reform once the insect has left the droplet. While it is expected that the contact insecticide will destroy most targeted male insects, control of resistant species may also be achieved through a resistant male transferring the systemic insecticide from the droplet to the female during copulation and sterilising her eggs.

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40. Sex pheromones play a vital role in the survival and advancement of all animals. However, the behaviour and courtship patterns are particularly complex and advanced in ticks compared to insects. These sex pheromones can be found in compounds or mixtures of compounds, guiding mate finding, aggregation, mate selection, courtship behaviour and insemination.

- 41. In the case of ticks, research has suggested that there are four distinct sex pheromone categories (Sonenshine 1991). The first of these is an Attractant Sex Pheromone. Once a female tick attaches to a host and begins feeding, she secretes a volatile sex pheromone that is detected by males. Males feeding on the same host become excitable, cease feeding, detach, and hunt for the female. The male must feed for three to four days before his sperm is mature; however, in the presence of attractant sex pheromone males will detach prematurely and search for females. The male locates the feeding female by following the pheromone and commences the courtship process. (Sonenshine 1985, Sonenshine 1986 and Sonenshine 1991).
- 42. The second category is the Mounting Sex Pheromone. Once the male has located the female secreting the attractant sex pheromone, he is able to distinguish between sexually active and inactive females by detection of a second pheromone, the mounting sex pheromone. Females secrete a substance onto their surface. When a male comes in contact with a sexually active female, he palpates her body, locating the mounting sex pheromone she has secreted. Once the male detects this pheromone, he attaches himself to the female and begins searching for the gonopore (reproductive organ). The male originally searched for the pheromone on the dorsal surface of the female. However, once the male confirms the presence of the mounting sex pheromone, he positions his body posteriorly and crawls to the ventral portion, or underside of the female in search of her gonopore. (Sonenshine 1989 and Sonenshine 1991).
- 43. The third category is the Genital Sex Pheromone. Once a male finds a sexually active female and has mounted her, he begins locating the gonopore. A male having completed spermatogenesis (by feeding for 3-4 days) will transfer his spermatophore to his mouth. After completing this process, the male will insert his anterior end into the gonopore of the receptive female, where it will remain until the female has fed to repletion. This action prevents other males from fertilising the same female. If the male was to leave before the female

concluded feeding,: a second male could mate, resulting in his gametes being passed to a new generation. However, before this can take place, the male must detect a third, genital sex pheromone. This pheromone elicits a copulatory response in the male and completes the reproduction process. (Sonenshine 1985 and Sonenshine 1991).

- 44. The fourth category is the Mated Sex Pheromone. Once mated, a female emits a pheromone that repels the advances of other males. (Dusbabek 1999).
- 45. The reproductive behaviour of the tick described above is conducive to control of tick populations using the invention. At least four doses of the composition may be applied to the skin of the animal, two on each side of the animal and approximately one-meter apart.
- 46. When gorging male ticks sense the pheromone in the invention, they will cease gorging and seek out the source, which instinctively they believe to be a gorging female ready for mating. A large number of the ticks so attracted will be sexually immature and even if they locate an actual female they will be unable to reproduce, that is, pass their gametes to a new generation. The female will nonetheless emit a pheromone to repel other males before she disengages from the host to lay what will be sterile eggs. At this point the invention has served two very useful purposes. The breeding cycle has been broken for a large number of males and females, and large numbers of males have ceased gorging on the blood of the host animal.
- 47. A large proportion of the male ticks attracted by the pheromone will locate a dose of the composition and, instinctively believing the dose to be a female, they will attempt to mate because of the mounting pheromone in the composition. Contact with the composition will repel the male tick because of its sticky surface, but the length of the contact period will be sufficient for the male to absorb a fatal dose of insecticide. In any event, the composition will not contain the genital sex pheromone that stimulates copulation; therefore the male will have no reason to remain. One repelled male, fatally infected by the insecticide in the composition, will be replaced by another ad nauseum. Males fatally infected by the insecticide will be incapable of seeking out genuine females, while large numbers of females, unable to compete against the pheromone in the composition, will remain unfertilised. Some sexually mature

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males, attracted by the pheromone in the composition, will undoubtedly locate genuine females and mate successfully, but these will represent a small minority.

- 48. The composition will remain effective for up to 12 weeks because of stabilisation of the pheromones (and insecticide), after which time new doses will be required, subject to the treatment strategy employed. Some livestock operators will elect to treat only during the height of the tick-breeding season, while others will use a prophylactic approach and treat continuously.
- 49. The composition is waterproof and therefore will remain unaffected by rain, spaying or washing. While the composition cannot be absorbed through the hide or skin of the animal, some livestock operators may elect to apply the dose to neck and tail bands where it will be just as effective. The preferred insecticides are synthetic pyrethroids that offer a quick kill rate (LD50), relatively short half-life and virtually no mammalian toxicity. Therefore, the composition is perfectly safe and comfortable for the animal and neither the meat nor hide will contain any pesticide residues. Nor will any damage be done to the environment as a result of spraying. The targeted tick species will also survive, but in numbers that will not cause any significant economic damage or risk to human health as a disease vector.
- 50. The matrix for control of the blowfly is identical to the composition for the control of ticks except for the attractants. However, the product will comprise two packs separated because of antagonism between the attractants. The first pack will contain the composition plus Indole, 2-Mercaptoethanol and Sodium Sulphide. The second pack will contain the composition plus Butanoic Acid and the Blowfly sexual pheromone. The objective of the compositions is to attract and destroy both the male and female of the species to significantly reduce both the current blowfly population and subsequent generations.
- 51. The composition may be applied to the head of each animal because of the absence of thick wool will give the blowfly better access. Blowflies also target head wounds caused when male sheep are dehorned. While the composition is perfectly safe to apply to the skin of the animal, it may also be applied to ear tags and therefore not make contact with the skin. Unlike the LuciTrap<sup>TM</sup> described above, the sheep will carry the control mechanism with them as they graze throughout the property. However, the composition may also be applied

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to infrastructure such as fences close to where the sheep graze or buildings where the sheep are shorn. The composition may also be applied to the top of the LuciTrap™ to complement the trapping function. Field surveys of the LuciTrap™ suggest that while the trap attracts large numbers of blowflies, significant numbers do not enter the trap. The composition applied to the top of each trap may increase the efficacy of the trap.

- 52. Blowflies are known for developing resistance to contact insecticides.

  Therefore, the presence of the systemic insecticide in the composition will result in the male blowfly sterilising female eggs on copulation.
- 53. The matrix for the control of members of Orders Acarina, Diptera, Lepidoptera and Coleoptera in horticulture is identical to the composition for the control of ticks and blowflies except for the attractant. The composition, when applied to branches of fruit bearing trees, vines and lower leaves of cruciferous plants (for example, cabbages and cauliflowers) will attract the male of the target insect species for up to 12 weeks. The male insect on making contact will either die, become disabled (and therefore unable to mate) or, if resistant to the contact insecticide, will take a minute dose of systemic insecticide away from the droplet and sterilise the female's eggs on copulation. Therefore, the composition will be effective in controlling both resistant and non-resistant species.
- 54. Field trial data (Bayer CropScience AG and Syngenta Crop Protection AG) suggests that 3,000 droplets of 0.05 gram each per hectare will achieve an efficacy approaching that of insecticide spraying, but without any of the disadvantages of the latter including pesticide residue remaining on fruit, wind drift; destruction of beneficial insects (including bees) and jeopardising worker safety. Furthermore there will be no re-entry periods for orchard works or a time-to-harvest interval. There will also be no penetration of soil by pesticide residues, nor any degradation of water courses. The composition may contain as little as 4 grams of insecticide active (Lambda-Cyhalothrin and Imidacloprid) compared with the application of up to 7 kg of insecticide active per hectare (Imidan) under spraying regimes. No special equipment will be required because the product will be marketed in its own dispenser.
- 55. Field trial data (Syngenta Crop Protection AG) suggests that if the composition is used for three consecutive years in an apple orchard for the control of Codling Moth, then the rate of infestation (measured by damage to fruit) will

fall below an acceptable 1% threshold in the fourth year and remain below this level thereafter.

- Field trial data (Bayer CropScience AG) suggests that 2,000 droplets of 0.01 56. gram each per hectare will achieve an efficacy far in excess of Mating Disruption and Mass Trapping. Moreover, the size of the droplet is not a critical factor. The composition uses one-thousandth the quantity of pheromone used in Mating Disruption and is therefore more cost effective compared with the latter. While labour intensive, application of the composition is less labour intensive than either Mating Disruption or Mass Trapping. Of greater importance is the fact that the composition will destroy or disable the male and, if disabled, the male will be unable to mate. The composition outperforms Mass Trapping by a very large margin. The number of traps per hectare used in Mass Trapping is constrained by economic factors. As a general rule there will only be around four traps per hectare compared with 3,000 droplets of the composition or approximately three droplets per tree. Moreover, in order to prevent degradation of the pheromone by climatic factors, but notably UV radiation, the attractant is contained inside the trap which constrains the rate of release and therefore efficacy.
- The Red Date Palm Weevil (Rhynchophorus ferrugineus) may also be controlled 57. by the composition, but through the use aggregate pheromones rather than sexual pheromones. Although referred to as a weevil, Rhynchophorus ferrugineus is a member of Order Coleoptera. Unlike conventional insect pests, the Red Date Palm Weevil does not attack the fruit, but the trunk of the date palm. The female of the species burrows into the trunk where, subject to generation, she lays an average of 70 to 260 eggs. The larvae (average length 35 mm) that hatch from the eggs remain in the trunk, subject to generation, for 100-130 days and during this time will weaken the trunk by establishing hundreds of metres of tunnels. The date palm will ultimately collapse, but is generally removed beforehand and incinerated as a method of control. Insecticide spraying has proven to be ineffective in controlling the insect. The current method of control is to use Mass Trapping (4 traps per hectare) with aggregate pheromones and a kairomone. Both male and female Red Date Palm Weevils are attracted by an aggregate pheromone that attracts large numbers of the insect to the one location for the purpose of mating. The kairomone emits an aroma (to the Red Date Palm Weevil) similar to the scent emitted by wounded date palms. A wounded date palm is one from which fronds have recently

been removed. By adding these two attractants to the composition and applying the composition at least twice on every date palm, a more effective method of control may be established.

- 58. Rhynchophorus ferrugineus is a member of family Rhynchophorus spp. found around the world that infest a variety of palms, including oil, coconut, sago, omamental and palmetto. Members of the family (sometimes referred to as the Rhinoceros beetle) have a similar, fearsome appearance and all react to the aggregation pheromone. However, members of the family outside of the date production regions of the world are generally not major problems because of natural predators. Rhynchophorus ferrugineus is a major problem in date palms largely because it has been introduced and therefore has no natural enemies. However, the composition may nonetheless be used control members of Rhynchophorus spp. in all of the above-mentioned crops.
- The composition may also be used to control infestation by members of Orders 59. Acarina, Diptera, Lepidoptera and Coleoptera in stored cereal. The current method of protecting stored cereal in silos involves the use of phosphine and aluminium phosphide, both of which are extremely hazardous. Aluminium Phosphide combusts on contact with water while Phosphine gas was used a chemical weapon during the Second World War. Both chemicals also leave residues in the stored cereal which have been know to cause fatalities. Methyl Bromide is also used to control insects in stored cereals. The use of Methyl Bromide is covered by the Montreal Protocol which enforces the use of the chemical because its ozone depletion properties. In developing countries, consumption of Methyl Bromide was frozen in 2002 at 1995-98 average levels, to be followed by 20-percent reduction in 2005 and complete phase out in 2015. Exemptions for developed and developing countries include quarantine and critical uses. Initially, phase out in the United States was to occur by January 1, 2001, under the U.S. Clean Air Act. The fiscal year 1999 budget made the U.S. phase out identical to that required by the Montreal Protocol for developed countries and allowed the same exemptions. These three chemicals are either not affordable or not accessible in remote areas in developing countries and consequently smoke from fires lit under silos is often used as a control mechanism, but with modest success rates. Smoke contributes to greenhouse emissions which are now a major environmental concern worldwide. Therefore, the composition has a valuable environmental and safety role to play in substituting for the current control regimes.

60. The composition may also be used to control members of Orders Diptera (flies and mosquitoes) and Dictyoptera (cockroaches) in domestic and public health situations. These insects are typically controlled by spraying and, in the case of cockroaches, by trapping. Insecticide Spraying in a domestic or public health situation has even more shortcomings than in horticulture because of the presence of people other than operators.

- 61. The composition may also be used to control infestation by members of Orders Acarina, Diptera, Lepidoptera and Coleoptera in domestic or home garden situations. A reduced pack size and a modified method of application will be required for the home garden situation.
- 62. While it is desirable use insecticides with a high LD<sub>50</sub>, the invention may be used with following insecticide actives and, subject to chemical analysis, any future insecticide active that may be developed for the control inter alia of members of the Orders Acarina, Diptera, Lepidoptera, Coleoptera and Dictyoptera. The insecticide actives should conform to veterinary standards in compositions developed for animal health. Complete details of the following may be found in The Pesticide Manual, 12th Edition, published 2000 and edited by the British Crop Protection council, London, UK.
- Antibiotic Insecticides
   nikkomycins, thuringiensin

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- 64. <u>Macrocyclic Lactone Insecticides</u> tetranactin
- 65. <u>Avermectin insecticides</u> abamectin, doramectin, eprinomectin, ivermectin, selamectin
- 66. <u>Milbemycin insecticides</u>
  milbemectin, milbemycin oxime, moxidectin
- 67. <u>Bridged Diphenyl Insecticides</u>
  azobenzene, benzoximate, benzyl benzoate, bromopropylate, chlorbenside,
  chlorfenethol, chlorfenson, chlorfensulphide, chlorobenzilate, chloropropylate,
  dicofol, diphenyl sulfone, dofenapyn, fenson, fentrifanil, fluorbenside, proclonol,
  tetradifon, tetrasul

#### 68. Carbamate Insecticides

benomyl, carbanolate, carbaryl, carbofuran, methiocarb, metolcarb, promacyl, propoxur

#### 69. Oxime Carbamate Insecticides

aldicarb, butocarboxim, oxamyl, thiocarboxime, thiofanox

#### 70. Dinitrophenol Insecticides

binapacryl, dinex, dinobuton, dinocap, dinocap-4, dinocap-6, dinocton, dinopenton, dinosulfon, dinoterbon, DNOC

#### 71. Formamidine Insecticides

amitraz, chlordimetorm, chloromebutorm, formetanate, formparanate

#### 72. <u>Mite Growth Regulators</u>

clofentezine, dofenapyn, fluazuron, flubenzimine, flucycloxuron, flufenoxuron, hexythiazox

#### 73. Nicotinoid insecticides

flonicamid

#### 74. <u>Nitroguanidine insecticides</u>

clothianidin, dinotefuran, imidacloprid, thiamethoxam

#### 75. Nitromethylene insecticides

nitenpyram, nithiazine

#### 76. Pyridylmethylamine insecticides

acetamiprid, imidacloprid, nitenpyram, thiacloprid

#### 77. Organochlorine Insecticides

bromocyclen, camphechlor, dienochlor, endosulfan, lindane

#### 78. <u>Organophosphorus Insecticides</u>

chlorfenvinphos, crotoxyphos, dichlorvos, heptenophos, mevinphos, monocrotophos, naled, schradan, TEPP, tetrachlorvinphos

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#### 79. Organothiophosphate Insecticides

amidithion, amiton, azinphos-ethyl, azinphos-methyl, azothoate, benoxafos, bromophos, bromophos-ethyl, carbophenothion, chlorpyrifos, chlorthiophos, coumaphos, cyanthoate, demeton, demeton-O, demeton-S, demeton-methyl, demeton-O-methyl, demeton-S-methyl, demeton-S-methylsulphon, dialifos, diazinon, dimethoate, dioxathion, disulfoton, endothion, ethion, ethoatemethyl, formothion, malathion, mecarbam, methacrifos, omethoate, oxydeprofos, oxydisulfoton, parathion, phenkapton, phorate, phosalone, phosmet, phoxim, pirimiphos-methyl, prothidathion prothoate, pyrimitate, quinalphos, quintiofos, sophamide, sulfotep, thiometon, triazophos trifenofos, vamidothion

### 80. <u>Phosphonate Insecticides</u>

trichlorfon

#### 81. Phosphoramidothioate Insecticides

isocarbophos, methamidophos, propetamphos

#### 82. Phosphorodiamide Insecticides

dimefox, mipafox

#### 83. Organotin Insecticides

azocyclotin, cyhexatin, fenbutatin oxide

#### 84. Phenylsulfamide Insecticides

dichlofluanid

#### 85. Phthalimide Insecticides

dialifos, phosmet

### 86. Pyrazole Insecticides

acetoprole, fipronil, tebufenpyrad, vaniliprole

#### 87. <u>Pyrethroid Ester Insecticides</u>

acrinathrin, bifenthrin, cyhalothrin, cypermethrin, alpha-cypermethrin, fenpropathrin, fenvalerate, flucythrinate, flumethrin, fluvalinate, tau-fluvalinate, permethrin, lambda-cyhalothrin, deltamethrin, cyfluthrin

88. <u>Pyrethroid Ether Insecticides</u> halfenprox

# 89. <u>Pyrimidinamine Insecticides</u> pyrimidifen

# 90. <u>Pyrrole Insecticides</u> chlorfenapyr

# 91. Quinoxaline Insecticides chinomethionat, thioquinox

# 92. <u>Sulfite Ester Insecticides</u> propargite

# 93. <u>Tetronic Acid Insecticides</u> spirodiclofen

## 94. <u>Thiocarbamate Insecticides</u> fenothiocarb

# 95. <u>Thiourea Insecticides</u> chloromethiuron, diafenthiuron

#### 96. <u>Unclassified Insecticides</u>

acequinocyl, amidoflumet, arsenous oxide, bifenazate, closantel, crotamiton, disulfiram, etoxazole, fenazaflor, fenazaquin, fenpyroximate, fluacrypyrim, fluenetil, mesulfen, MNAF, nifluridide, pyridaben, sulfiram, sulfluramid, sulfur, triarathene

#### 97. Systemic Insecticides

tebufenozide, diofenolan, fenoxycarb, methoprene, kinoprene, pyriproxyfen, imidacloprid.

98. Pheromones in the composition are generally molecules or mixtures of molecules that are identical to, or closely approximate the pheromone emitted by the female of the target insect species to attract the male for the purpose of mating. However, in future, kairomones (which are plant essences comprising

complex molecules that attract both sexes of the target insect species) may also be used. The science of kairomones is at a very early stage and, at this juncture, no molecules have yet been identified, much less synthesised. However, the science of pheromones is very well developed and many molecules have been both identified and synthesised, and are known from the literature. The molecules are from the following classes of compounds: acetates, esters, alcohols, aldehydes, ketones, epoxides, chiral compounds, compounds with heteroatoms, branched compounds, mono-unsaturated compounds, di-unsaturated compounds, polyunsaturated compounds, acetylenic compounds. The molecular chain length will range from 2 to in excess of 24.

- 99. Kairomones are also naturally occurring signal substances. They are generally produced by plants and consist in most cases of a mixture of several aromatic and volatile compounds. Kairomones are capable of attracting both male and female representatives of the Orders Acarina, Diptera, Lepidoptera, Coleoptera and Dictyoptera. However, repellent effects are also possible, subject to the concentration. It is preferable that Kairomones be used with Pheromones.
- 100. The pesticide active compounds and attractants employed in the compositions must be compatible with the remaining constituents and at least partially soluble therein. The volatility of the pesticide active ingredients in the composition should be sufficiently low to remain on or near the surface of the globule for an adequate period to allow uptake of the active ingredient by the target pest to be controlled.
- 101. A flowable composition for the purposes of the invention is one that demonstrates flow characteristics similar to chocolate syrup (25,000 cP). Compositions with greater flow characteristics may be used as long as they adhere to the plant, infrastructure, skin of the animal, attachments on the animal or to traps. The viscosity of the principle ingredient, Castor Oil is 9,850 cP which means that agents to increase viscosity must be added to the composition. Alternatively or as a supplementary measure, blown Castor Oil, which has a higher viscosity, may be added to the composition. Compositions with lower flow characteristics may prove to be difficult to dispense. The viscosity of the composition should be within a range of 20,000 to 30,000 cP (cempoise), preferably 25,000 cP. The viscosity of the composition should be

determined using the torsion principle which measures the torque required to overcome the resistance of a fluid because of its viscosity.

- 102. The UV radiation absorber required for the composition is a compound that absorbs UV radiation in the range 270 to 400 nM. UV radiation absorbers are readily available commercially for this range and are well known in the plastic, photographic and paint industries. UV radiation absorbers typically dissipate the absorbed radiation as thermal energy. The preferred compounds belong to the following chemical groups: Benzotriazole derivatives, Benzophenone derivatives, Cinnamic acid derivatives, Oxalanilides, Sterically hindered amines, Piperidine derivatives, and Triazines. The Benzotriazole derivatives are preferred, notably Tinuvin™ 171 which is supplied in liquid form and covers the required absorption range. Other compounds, not normally classified as UV radiation absorbers, may be used in the composition provided they are stable to light, demonstrate a sufficiently high performance in the required absorption range and are compatible with the remaining components. They should also contribute to the viscosity of the composition. In the event other compounds are used, they should be used in combination with Benzotriazoles, preferably in an amount less than 10% of the weight of the total composition.
- 103. The quantity of UV radiation absorber and Castor Oil in the composition should fall in the range 60% to 90% by weight. A composition that comprises only one UV absorber is preferred over multiple compounds. The UV radiation absorbers used should also be relatively viscous in view of the amount used in the composition. They should be in liquid or flowable form with a cP value of between 10,000 and 30,000. On the other hand, it is also possible to use one or more solid UV absorbers and to convert them into the required flowable form using solvents. It is also possible to mix a flowable UV absorber with a solid UV absorber to convert the composition into the desired flowable form.
- 104. The composition will require viscosity-regulating thickeners in an amount of from 0.1% to 20% by weight. Suitable organic thickeners include: base-neutralized acrylic acid polymers of high molecular weight and relatively high viscosity ("Carbpole" types), polyvinylpyrrolidones, cellulose gums, in particular cellulose alkyl esters and cellulose alkyl ethers ("Blanose" types), liquid polyalkylene glycol block copolymers of ethylene oxide and propylene oxide ("Pluronic" types), polyethylene glycols with a molecular weight of above 10 000, and

polyisobutylene with a molecular weight of approximately 1000 (Glissopal 1000). Suitable inorganic thickeners include: precipitated or pyrogenic silicas, aluminas and rock meals, in particular calcite, various types of talcum, or kaolins, bentonites, montmorillonites, smectites and attapulgite, aluminalsilica ("Aerosil" types) and sodium aluminium silicates. Quartz sand or cross-linked solid pulverulent polymers may be incorporated into the products as additional fillers. The recommended viscosity regulators for the composition are a Polyisobutylene with a molecular weight of 1,000 (for example, Glissopal<sup>TM</sup> 1000) supplemented by an Aluminalsilic aerosil, for example COK 84<sup>TM</sup>.

- 105. To modify the viscosity of the composition according to the invention, it may be expedient in certain cases to add an inert solvent or diluent. Solvents should be compatible with the remaining constituents of the product and, preferably, of low volatility. Suitable examples solvents include: ethers and ether-like compounds of low volatility such as dipropyl ether, dibutyl ether, dioxane, dimethoxyethane and tetrahydrofuran; N,N-dialkylated carboxamides; aliphatic, aromatic and halogenated hydrocarbons, in particular pentanes, hexanes, heptanes, octanes, hexadecane, toluene, xylenes, chlorohydrocarbons and chlorobenzenes, alcohols, such as ethanol, propanols, t-butanol and higher alcohols; nitriles, such as acetonitrile or propionitrile; and ketones, for example methyl isopropyl ketone and methyl isobutyl ketone; alkyl esters of aliphatic carboxylic acids, such as butyl propionate, methyl oxalate, dibutyl sebacate, di(2-ethylhexyl) sebacate. In general, the composition will contain relatively small amounts of solvents or less than 2% by weight.
- 106. The compositions and methods of the invention may be used to control insects of the Orders Homoptera, Heteroptera, Thysanoptera, Orthoptera, Anoplura, Siphonaptera, Mallophaga, Thysanura, Isoptera, Psocoptera and Hymenoptera in addition to the Orders Acarina, Diptera, Lepidoptera, Coleoptera and Dictyoptera. The following species of insects, for example, may be controlled successfully with the composition of the invention.
- 107. Acleris spp., Adoxophyes fasciata, Adoxophyes orana, Aegeria apiformis, Agriotes spp., Agrotis ipsilon, Agrotis segetum, Amylois transitella, Anthonomus grandis, Aonidiella aurantii, Aonidiella citriana, Archips spp., Argyrotaenia spp., Autographa spp., Blattella germanica, Busseola fusca, Cadra cautella, Chilo partellus, Chilo suppressalis, Choristoneura fumiferana, Choristoneura murinana, Choristoneura rosaceana, Choristoneura spp., Cnephasia spp., Cochylis spp.

Coleophora laricella, Coleophora spp., Cossus cossus, Crocidolomia binotalis, Curculio caryae, Cydia pomonella, Dacus dorsalis, Dacus oleae, Dacus spp., Dasychira spp., Dendroctonus brevicomis, Dendroctonus frontalis, Dendroctonus ponderosae, Dendrolimus pini, Dentroctonus spp., Dermestes spp., Diabrotica balteata, Diabrotica longicornis, Diabrotica vigifera, Diabrotica barberi, Diabrotica undecimpunctata, Diatraea grandiosella, Diatraea saccharalis,, Earias biplaga, Earias insulana, Earias vittella, Ephestia elutella, Ephestia kuehniella, Eucosma ponderosa, Eucosma sonomana, Eucosma spp., Eupoecilia ambiguella, Euproctis similis xanthocampa, Euxoa spp., Glossina morsitans morsitans, Gnathotrichus spp., Grapholita funebrana, Grapholita janthinana, Grapholita molesta, Grapholita prunivora, Grapholita sp., Hedya nubiferana, Heliothis armigera, Heliothis spp., Heliothis virescens, Heliothis zea, Hylobius abietis, Hylotrupes bajulus, Ips paraconfusus, Ips spp., Ips typographus, Keiferia lycopersicella, Leptinotarsa decemlineata, Leucoptera scitella, Lobesia botrana, Lymantria dispar, Lymantria monachal, Lyonetia clerkella, Lucilia cuprina, Lucilia sericata, Malacosoma spp., Mamestra brassicae, Manduca sexta, Musca domestica, Neodiprion sertifer , Neodiprion spp., Operophtera brumata, Ostrinia nubilalis, Pammene rhediella, Pammene spp., Pandemis spp., Pandemis heparana, Panolis flammea, Pectinophora gossypiella, Pectinophora spp., Periplaneta Americana, Pityogenes chalcographus, Pityokteines spp., Planococcus citri, Platypus flavicoruis, Plutella xylostella, Popillia japonica, Prays citri, Prays oleae, Pseudaulacaspis pentagona, Pseudococcus comstocki, Quadraspidiotus perniciosus, Rhynchophorus ferrugineus, Scolytus multistriatus, Scolytus scolytus, Scolytus spp., Sesamia spp., Sitotroga spp., Sparganothis pilleriana, Sparganothis spp., Spodoptera exempta, Spodoptera exigua, Spotoptera frugiperda, Spotoptera littoralis, Spotoptera litura, Spotoptera spp., Stomoxys calcitrans, Synanthedon formicaeformis, Synanthedon spp. "Tetranychus urticae, Thaumetopoea pityocampa, Tortrix viridana, Trichoplusia ni, Trogoderma granarium, Trogoderma spp., Trypodendron domesticum, Trypodendron lineatum, Vespula spp., Yponomeuta spp., Zeiraphera diniana, Zeuzera pyrina .

108. The invention is highly suitable for controlling undesirable representatives of the Order Acarina, notably, but not restricted to species from the Ixodidae Family (Hardbacked ticks) and certain species of mite that are Ecto-Parasites on livestock, notably cattle and sheep as well as members of the Order Artiodactyle (deer family).

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109. Acaridae, Analgidae, Anystidae, Argasida, Atopomelidae, Bdellidae, Carpoglyphidae, Cheyletidae, Demodicidae, Dermanyssidae, Dermationidae, Epidermoptidae, Eriophyidae, Glycyphagidae, Halarachnidae, Ixodidae, Knemidokoptidae, Kytoditidae, Laelapidae, Laminosioptidae, Leeuwenhoekiidae, Listrophoridae, Macrochelidae, Macronyssidae, Microdispidae, Penthaleidae, Phytoptidae, Phytoseiidae, Psorergatidae, Psoroptidae, Pyemotidae), Pyroglyphidae, Rhinonyssidae, Rhynchaphytoptidae, Sarcoptidae, Sitercoptidae, Tarsonemidae, Teinocoptidae, Tenuipalpidae, Tetranychidae, Trombiculidae, Turbinoptidae, Varroidae.

110. Especially good control is possible in, but not restricted to the following species of the Ixodidae (Hardbacked Tick) family: Amblyomma americanum, Amblyomma aureolatum, Amblyomma cajennense, Amblyomma calcaratum, Amblyomma darwini, Amblyomma glauerti, Amblyomma hebraeum, Amblyomma maculatum, Amblyomma nodosum, Amblyomma ovale, Amblyomma rhinocerotis, Amblyomma sparsum, Amblyomma triguttatum, Amblyomma triguttatum, Amblyomma tuberculatum, Amblyomma variegatum, Amblyomma vikirri, Anocentor nitens, Aponomma concolor, Aponomma fimbriatum, Aponomma glebopalma, Aponomma hydrosauri, Aponomma latum, Aponomma undatum, Aponomma varanensis, Boophilus annulatus, Boophilus decoloratus, Boophilus geigyi, Boophilus kohlsi, Boophilus microplus, Bothriocroton auruginans, Dermacentor albipictus, Dermacentor andersoni, Dermacentor halli, Dermacentor hunteri, Dermacentor imitans, Dermacentor marginatus, Dermacentor nitens, Dermacentor occidentalis, Dermacentor parumapertus, Dermacentor reticulatus, Dermacentor rhinocerinus, Dermacentor variabilis, Haemaphysalis cretica, Haemaphysalis humerosa, Haemaphysalis inermis, Haemaphysalis leachi, Haemaphysalis leporispalustris, Haemaphysalis longicomis, Haemaphysalis petrogalis, Haemaphysalis punctata, Hyalomma aegyptium, Hyalomma anatolicum, Hyalomma anatolicum, Hyalomma dromedarii, Hyalomma hussaini, Hyalomma lusitanicum, Hyalomma marginatum, Hyalomma marginatum, Hyalomma rufipes, Hyalomma truncatum, Ixodes acutitarsus, Ixodes affinis, Ixodes angustus, Ixodes antechini, Ixodes asanumai, Ixodes auritulus, Ixodes banksi, Ixodes brunneus, Ixodes cookei, Ixodes cornuatus, Ixodes corwini, Ixodes dammini, Ixodes dampfi, Ixodes frontalis, Ixodes gibbosus, Ixodes granulatus, Ixodes hexagonus, Ixodes holocyclus, Ixodes jellisoni, Ixodes kopsteini, Ixodes lasallei, Ixodes Ioricatus, Ixodes Iuciae, Ixodes minor, Ixodes monospinosus, Ixodes muris,

Ixodes neotomae, Ixodes neuquenensis, Ixodes nipponensis, Ixodes nuttallianus, Ixodes ornithorhynchi, Ixodes ovatus, Ixodes pacificus, Ixodes pararicinus, Ixodes pavlovskyi, Ixodes persulcatus, Ixodes pilosus, Ixodes ricinus, Ixodes scapularis, Ixodes sculptus, Ixodes sigelos, Ixodes simplex, Ixodes spinipalpis, Ixodes tanuki, Ixodes tasmani, Ixodes turdus, Ixodes uriae, Ixodes vespertilionis, Ixodes woodi, Ixodes tasmani, Ixodes turdus, Ixodes uriae, Ixodes vespertilionis, Ixodes woodi, Ixodes tasmani, Ixodes turdus, Ixodes uriae, Ixodes vespertilionis, Ixodes woodi, Ixodes tasmani, Ixodes turdus, Ixodes uriae, Ixodes vespertilionis, Ixodes woodi, Ixodes tasmani, Ixodes turdus, Ixodes uriae, Ixodes vespertilionis, Ixodes woodi, Ixodes tasmani, Ixodes turdus, Ixodes uriae, Ixodes spinipalpis, Ixodes tanuki, Ixodes scuppallus appendiculatus, Ixodes simus, Ixodes spinipalpis, Ixodes scapularis, Ixodes scapularis, Ixodes simus, Ixodes spinipalpis, Ixodes scapularis, Ixod

111. Reduction of tick numbers on livestock and members of Order Artiodactyle should also cause a corresponding reduction in human disease caused, in most cases, by bacteria that use the ticks as vectors. Such human disease includes Erythema Migrans or Lyme disease caused by the bacterium Borrelia burgdorferi found on Ixodes scapularis and Ixodes pacificus which typically use deer as a host; Ehrlichiosis caused by bacteria Ehrlichia chaffeensis and Ehrlichia ewingii found on the tick Amblyomma americanum which typically use white deer as a host, but are also found on domestic animals; Babesiosis caused by the parasite Babesia microtii found on Ixodes scapularis which typically use deer as a host; Rocky Mountain Spotted Fever or Rickettsia caused by the bacterium Rickettsia rickettsi found on the ticks Dermacentor variabilis, Dermacentor andersoni and Amblyomma americanum which typically use domestic animals and deer as hosts; ; Southern tick-Associated Rash Illness (STARI) similar to Lyme disease and caused by the bacterium Borrelia Ionestari found on the tick Amblyomma americanum which typically uses deer as its host; Tularemia caused by the bacterium Francisella tularensis found on the ticks Amblyomma americanum, Dermacentor variabilis and Dermacentor andersoni which typically use deer and rabbits as hosts and Tick-borne Relapsing Fever caused by the bacterium Borrelia hermsii found on the tick Ornithodoros hermsi that use mice and squirrels as hosts. There are several tickborne diseases found in countries outside of the USA that are similar to Rocky Mountain Spotted Fever and include Mediterranean spotted fever (Rickettsia conorii), African tick-bite fever (Rickettsia africae), Queensland tick typhus (Rickettsia australis) and North Asian tick fever (Rickettsia sibirica). In Australia,

human beings can suffer paralysis from a bite of the tick *lxodes holocyclus* typically found on domestic cats and dogs. *lxodes holocyclus* is one of 40 species of ticks worldwide that causes paralysis from venom. Other tick-borne disease includes Heartwater (Cowdria ruminantium), Tick-bite Fever (Rickettsia conori), Nairobi Sheep Disease (Nairovirus), Q Fever (Coxiella burnettii), and dermatophylosis (Dermatophilus congolensis).

- 129. Attract-and-Kill technology using stabilised attractants (but not Castor Oil) absorbers in combination with a single pesticide active material has been available since 1996, but this technology also employs carbon black dye compounds to achieve additional protection against UV radiation. Noting the propensity for carbon black to absorb gaseous molecules, the presence of these dyes impedes the performance of the attractant in the composition. However, it is well known that certain insect species are attracted to yellow colours; therefore a yellow dye may also be used in the composition to increase attraction.
- 112. The same Attract-and-Kill technology does not include a chemical compound to control the release into the atmosphere of attractant gaseous molecules nor the release of the insecticide or insecticide active to the surface. The presence of castor oil in the invention composition controls the release of both the attractant and insecticide and therefore extends the effective life of the composition from six weeks to 12 weeks.
- 113. The same Attract-and-Kill technology has been developed for the control of insects and mites on horticultural and field crops rather than animal health, public health and stored cereals. Therefore, the invention represents not only a significant improvement in composition over the earlier technology, but a new application for the technology.
- 114. The variable dose size feature in the dispenser enables compositions to be prepared to control species of varying sizes.
- 115. Attract-and-Kill technology in horticulture is severely limited where the target insect pest or mite exhibits resistance to the pesticide active material. Under these circumstances, the insect or mite is able to exit after making contact with the globule and remains capable of mating. This has resulted in the

development of a related technology known as "Attract-and-Sterilise". Attract-and-Sterilise technology employs a pesticide active compound that interrupts the moulting process in the female. The male, after making contact with the globule, removes minute quantities of the insecticide or insecticide and impregnates the female with the same during mating. Only a limited number of insecticides or insecticides may be used for this purpose and are as follows: imidocloprid, tebufenozide, diofenolan, fenoxycarb, methoprene, kinoprene and pyriproxyfen. It will be noted that these compounds are contained in list of insecticides provided above.

- 116. Moreover, the composition recommended for the Attract-and-Sterilise technology has largely remained unchanged from the Attract-and-Kill composition in that it contains a carbon black dye, but no controlled-release compound such as Castor Oil. Nor does this composition contain a contact insecticide to control non-resistant members of the species. An Attract-and-Sterilise product separate from Attract-and-Kill would mean that two products rather than one would require registration in all jurisdictions, thereby significantly increasing the cost of the product.
- 117. A second Attract-and-Kill technology that uses attractants stabilised by UV absorbers in combination with pesticide active material is available in a different form. This technology, which has also been available since 1996 and is patented for horticultural purposes only, uses a curable polymer such as polyvinyl acetate and a xanthan-based heteropolysaccharide to create a globule with a hard skin rather than a sticky surface. The inventor claims that the risk to occupational safety is significantly less with a globule enclosed by a skin, but surprisingly, the attractant and pesticide may permeate through the skin to the detriment of the target insect. Despite this claim, the inventor has not released a product to the market comprising this composition. Moreover, in view of the size, distribution, concentration of pesticide and life of the globule of the composition, the risk to occupational safety will always be insignificant if notizero. Furthermore, empirical evidence is clear that the target insect or member of Order Acarina is repelled by the sticky surface of the globule after making contact. Otherwise, the target pest may remain on the globule and prevent other males from making contact with the same.

118. A typical formulation of the composition will comprise:

- 2.0 gram of Lambda-Cyhalothrin active,
- 2.0 gram of Imidacloprid active,
- 0.25 gram of attractant,
- 16.0 gram of a liquid Hydroxyphenyl Benzotriazole (for example Tinuvin™
   171),
- 72.00 gram of Castor Oil,
- 0.5 gram of Castor Oil Ethoxylate
- 5.0 gram of a Polyisobutylene with a molecular weight of 1,000 (for example, Glissopal™ 1000),
- 2.25 gram of an Aluminalsilic Aerosil (for example COK 84™),
- Hexane may be added to establish a viscosity of 25,000 cP.
- 119. Different insecticides, subject to the LD<sub>50</sub> rate, will require different quantities in the composition. Certain attractants may be less sensitive to UV radiation and therefore require less UV Absorber or Castor Oil in the composition. Similarly, certain insecticides may have a higher viscosity and therefore require a reduced quantity of viscosity regulators in the composition. Under these circumstances the composition will comprise different quantities of the components shown above.

#### **CLAIM**

1. An insecticide attract-and-kill and attract-and-sterilise formulation comprising:

0.1% to 5% by weight of a contact insecticide compound (for example, Lambda-Cyhalothrin or Deltamethrin),

0.1% to 5% by weight of a systemic insecticide compound (for example, Imidacloprid)

0.01% to 1.0% by weight of the target insect pheromone (or other signal substances including kairomones and naturally occurring attractants) at a level equal to that emitted by competing females,

10% to 30% by weight of an UV Radiation Absorber selected from the Hydroxyphenyl Benzotriazole group (for example Tinuvin™ 171) which can absorb UV radiation in the range 270 to 400 nM,

10% to 70% by weight of Castor Oil with 0.5% castor oil ethoxylate, a controlled-release medium for both the pheromone and insecticide that also provides protection against UV radiation,

0.1% to 20% by weight of a viscosity-regulator to establish a formulation with a viscosity of 25,000 cP. The preferred viscosity-regulator is a Polyisobutylene with a molecular weight of 1,000 (for example, Glissopal<sup>TM</sup> 1000) supplemented by an Aluminalsilic aerosil, for example COK  $84^{TM}$ .

0.1% to 3.0% by weight of an aromatic solvent in which all of the above are soluble, for example, hexane.

- 2. That will modify both the feeding and sexual behaviour of members from the Orders Acarina, Diptera, Lepidoptera, Coleoptera and Dictyoptera.
- 3. That may be used to control members from the Orders Acarina, Diptera, Lepidoptera and Coleoptera in horticulture and stored cereal situations by reducing reproduction rates and therefore the levels of damage to plants or levels of infested fruit without adversely impacting the environment or the ecology or creating pesticide residues. Male members of the target species will

die or become disabled on touching the contact insecticide in a process known as Attract-and-Kill. On the other hand, if the male is resistant to the contact insecticide, it will transfer the systemic insecticide to the female during mating and sterilise her eggs in a process known as Attract-and-Sterilise.

- 4. That may be used to control members from the Order Acarina, notably members of the family *Ixodidae* on livestock, notably cattle, and therefore reduce significantly the level of economic damage to cattle without harming or causing discomfort to the treated animal or adversely impacting the environment or the ecology or creating pesticide residues.
- 5. That may be used to control Blowfly Strike in sheep caused principally by the Sheep Blowfly (Lucilia cuprina), but also by other members of the Calliphoridae family, and therefore reduce significantly the level of economic damage to the wool industry without harming or causing discomfort to the treated animal or adversely impacting the environment or the ecology or creating pesticide residues. Small doses of the product would be applied to each animal or ear tags attached to the animal to distract and destroy the blowfly after it makes contact with the animal.
- 6. That may be used to control members from the Order Acarina, notably members of the family *Ixodidae* of the order Artiodactyle (deer), and therefore reduce significantly the level of tick-borne disease in human beings such as Lyme disease, Babesiosis, Ehrlichiosis and Rocky Mountain spotted fever.
- 7. That may be used to control members from the Order Dictyoptera (for example, cockroaches) and Diptera (for example, mosquitoes and flies) in public health without spraying insecticides that are generally unpleasant, frequently allergenic and often harmful when used in confined spaces.
- 8. That to achieve control in a veterinary situation, at least four droplets of approximately 0.05 to 0.10 gram each of the composition should be applied externally to the animal (or attachments to the animal, such as a neck-band or ear tags) every 12 weeks from maturity. To enhance control in a veterinary situation, the composition may also be used on sheds and trees close to where livestock congregate. To achieve control in a horticultural situation, at least three droplets of 0.05 to 0.2 gram each (subject to the size of the target species) or 3,000 droplets per hectare should be applied to branches of fruit

trees, the lower leaves of cruciferous plants, stakes, trellises and fences. To enhance control in a horticultural situation, the composition may also be used on infrastructure where produce is temporarily stored. To achieve control in a public health situation, at least three droplets of 0.05 to 0.10 gram each should be applied to structures where the target species congregate. The formulation may also be used in traps that attract the target species or on the external surface of traps to attract and kill target species that may otherwise fail to enter the trap.

- 9. That the presence of Castor Oil in the mixture will control the release of both the attractant and insecticides over a twelve-week period. The Castor Oil will also evenly disperse the attractant and insecticides throughout the composition. Castor Oil will also provide the composition with additional protection from UV radiation and will maintain constant viscosity.
- 10. That the presence of Castor Oil in the mixture will create a thin skin over the applied droplet. The skin will not prevent permeation of either the insecticides or attractant. Once the skin is broken by an interested male, it will form again once the male departs or falls away from the droplet.
- 11. That unlike the earlier Attract-and-Kill technology described in paragraphs 31 through 35 where the composition is applied to plants, infrastructure supporting plants or attachments to plants, the composition of the invention may be applied externally to the animal and is therefore a veterinary preparation as well as horticultural product. That unlike the earlier Attract-and-Kill technology described in paragraphs 31 through 33 where the composition is either chemically unstable or stabilised to the extent that it is ineffective, the composition of the invention is both stable over a 12-week period and highly effective. That unlike the earlier Attract-and-Kill technology described in paragraphs 34 and 35 where the composition is stable for up to six weeks and possesses no controlled release properties, the composition of the invention is stable over a 12-week period and is highly effective over this period because of the controlled release properties of Castor Oil. That unlike the earlier Attractand-Kill technology described in paragraphs 34 and 35 where the composition relies heavily on a member Hydroxyphenyl Benzotriazole chemical group, notably Tinuvin<sup>TM</sup> 171 for stability, the composition of the invention contains only a:small quantity of the latter and relies instead on Castor Oil, a food product approved by the US FDA. The skin and eyes of animals are known to be

sensitive to large quantities of Tinuvin™ 171, therefore the preparation described in these two paragraphs is unsuitable for use in animal health. That unlike the earlier Attract-and-Kill technology described in paragraphs 34 and 35 where the composition contains carbon black for added protection against UV radiation, the composition of the invention contains no carbon black because this substance absorbs a proportion of the pheromone molecules and therefore reduces efficacy. That unlike the earlier Attract-and-Kill technology described in paragraph 35 where the composition contains a polymer to establish a hard skin around the applied droplet, the composition of the invention contains no polymer which results in a sticky surface on the applied droplet to enhance uptake of the insecticide by the insect and to ensure the insect rapidly departs from the droplet. Polymerisation of the droplet improves stability at the expense of efficacy. That unlike the earlier technology described in paragraphs 31 through 35 where the composition is designed for Attract-and-Kill, the composition of the invention contains both a contact insecticide and systemic insecticide and is therefore suitable for both Attract-and-Kill and Attract-and-Sterilise concomitantly. Therefore, the composition of the invention may be used to control both resistant and non-resistant members of the target insect species either in a single crop or in independent crops. That unlike the earlier Attract-and-Kill technology described in paragraphs 31 through 35 where the composition is designed only for horticulture, the composition of the invention may be used for animal health without risk to the animal, for the control of tick borne disease in human beings, to control of insect pests in stored cereals without contamination of the latter, for domestic and public health situations without risk to human beings, for domestic gardens, forestry and for the control of insects in refuge areas in genetically modified field crops.

International application No.

PCT/AU2004/000975

A. CLASSIFICATION OF SUBJECT MATTER

Int. Cl. 7: A01N 25/24, 25/22; A61K 47/44, 47/22, 9/08, 9/10; A01N 53/08, 25/02

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) A01N 25/24, 25/22, 25/02, 53/08; A61K 47/44, 47/22, 9/08, 9/10

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data DERWENT viscosity	base consulted during the international search: WPAT, JAPIO; insecticide, acari	ch (name cide, pe	of data base and, where practicable, search terms used) esticide, castor oil, pheromone, kairomone, attra	actant, UV,			
C.	DOCUMENTS CONSIDERED TO BE REI	LEVANT	·				
Category*	Citation of document, with indication,	Relevant to claim No.					
X	US 6395776 B1 (LOSEL et al.) 28 May 2002 col. 2, line 55 – col. 3, line 8; col. 7, line 41 – col. 9, line 14; col. 11, lines 1-14; examples 1-5; claims						
·x	DE 10006209 A (BAYER AG) 1 whole document	1					
Y	AU 47232/89 (623206 B) (CIBA-GEIGY AG) 5 July 1990 page 4, lines 13-18; page 7, lines 25-34; page 11, lines 33-43; page 13, lines 11-16; page 15, lines 5-19; examples; claims						
Y	WO 1997/042815 A (NOVARTIS page 12, lines 5-18; page 7, lines 1 claims	1					
X F	Further documents are listed in the co	ntinuat	ion of Box C X See patent family ann	ex			
"A" docume	categories of cited documents: nt defining the general state of the art which is sidered to be of particular relevance	"T"	later document published after the international filing date or p conflict with the application but cited to understand the princip underlying the invention	riority date and not in ble or theory			
"E" earlier application or patent but published on or after the "X" do international filing date or			ocument of particular relevance; the claimed invention cannot be considered novel reannot be considered to involve an inventive step when the document is taken				
"L" document which may throw doubts on priority claim(s) "Y" do or which is cited to establish the publication date of another citation or other special reason (as specified) su			tone comment of particular relevance; the claimed invention cannot be considered to evolve an inventive step when the document is combined with one or more other each documents, such combination being obvious to a person skilled in the art				
or other	nt referring to an oral disclosure, use, exhibition means nt published prior to the international filing date	"&"	document member of the same patent family				
Date of the act	than the priority date claimed ual completion of the international search		Date of mailing of the international search report 2 6 OCT 2004				
19 October							
AUSTRALIAN PO BOX 200, E-mail address	ling address of the ISA/AU NPATENT OFFICE WODEN ACT 2606, AUSTRALIA : pct@ipaustralia.gov.au (02) 6285 3929		Authorized officer  DR. A TESSEMA  Telephone No: (02) 6283 2271				

International application No. PCT/AU2004/000975

Relevant to claim No.  1  1
claim No.
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International application No.

PCT/AU2004/000975

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)
This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
,
3. X Claims Nos.: 2-11
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a)
Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest
The additional search fees were accompanied by the applicant's protest.
No protest accompanied the payment of additional search fees.

Information on patent family members

International application No.

PCT/AU2004/000975

This Annex lists the known "A" publication level patent family members relating to the patent documents cited in the above-mentioned international search report. The Australian Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent Document Cited in Search Report		Patent Family Member					
US	6395776	AU	67354/96	DE	19528529	EG	20682
		EP	0845942	HU	9900300	NZ	315605
		PL	324751	wo	9705778	ZA	9606582
DE .	10006209	AU	33720/01	EP	1267615	wo	0158260
AU	4723289	AU	47232/89	BR	8906832	CA	2006418
		DK	667889	EG	19013	EP	0376888
		${f r}$	92864	JР	2275804	TR	23939
		US	5759561	US	5925367	ZA	8909900
wo	9742815	AU	27763/97	EP	0909130	NZ	332385
		US	2001021378	ZA	9703957		•
US.	3636207	BE	743274	DE	1963583	FR	1598593
		GB	1288425	NL	6919118		
WO.	02052938	NZ	526451	US	2002128153		
WO	9818321	AU	50865/98	BR	9712397	CA	2269823
		EP	0957684	ID	22475	KR	2000052895
		NO	992068	NZ	335584	US	5827522
WO	0035445	AU	10699/00	BR	9916265	CA	2355102
		EP	1140068	US	2002142972		
GB	2058569	AU	62145/80	BE	885221	BR	8005817
		DE	3034039	DK	381680	FR	2464648
		IN	151596	· JP	56055303	LU	82761
		NL	8005055	ZA	8005650		
US	5656571	US	5668082				

Due to data integration issues this family listing may not include 10 digit Australian applications filed since May 2001.

END OF ANNEX